

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
27 May 2004 (27.05.2004)

PCT

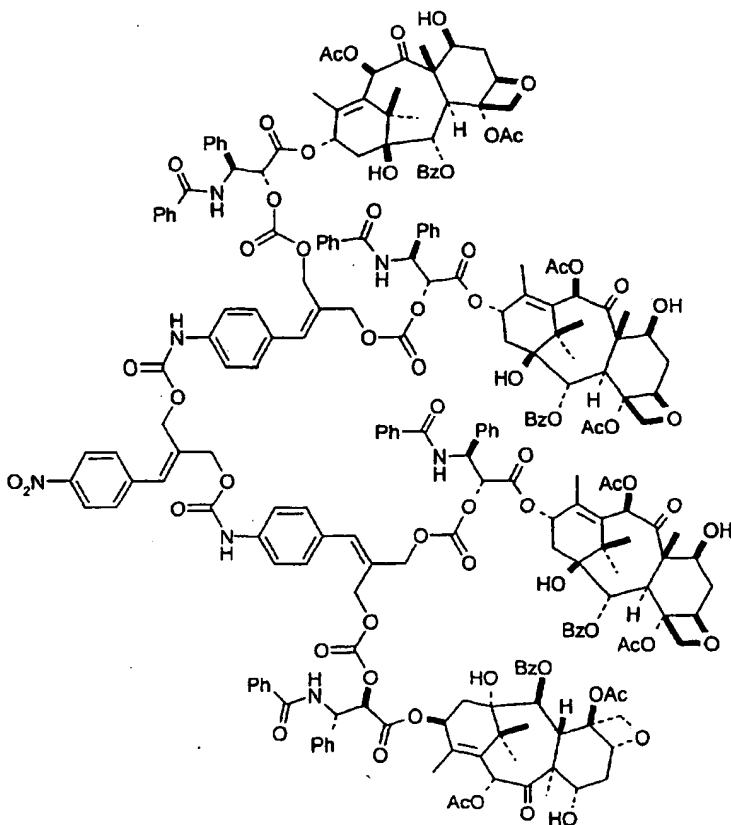
(10) International Publication Number
WO 2004/043493 A1

- (51) International Patent Classification⁷: A61K 47/48 (72) Inventors; and
(21) International Application Number: PCT/NL2003/000804 (75) Inventors/Applicants (for US only): DE GROOT, Franciscus, Marinus, Hendrikus [NL/NL]; Simon Stevinstraat 33, NL-6534 LL Nijmegen (NL). BEUSKER, Patrick, Henry [NL/NL]; Boecksteatedreef 81, NL-6543 JJ Nijmegen (NL). SCHEEREN, Johannes, Wilhelm [NL/NL]; Vinkelaan 26, NL-6581 CL Malden (NL).
(22) International Filing Date: 14 November 2003 (14.11.2003)
(25) Filing Language: English (74) Agent: VAN WESTENBRUGGE, Andries; Nederlandsch Octrooibureau, Scheveningseweg 82, P.O. Box 29720, NL-2502 LS The Hague (NL).
(26) Publication Language: English
(30) Priority Data: PCT/NL02/00732 14 November 2002 (14.11.2002) NL (81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
(71) Applicant (for all designated States except US): SYNTARGA B.V. [NL/NL]; Simon Stevinstraat 33, NL-6534 LL Nijmegen (NL).

[Continued on next page]

(54) Title: PRODRUGS BUILT AS MULTIPLE SELF-ELIMINATION-RELEASE SPACERS

(57) Abstract: This invention concerns multiple release spacers and spacer systems, which release multiple leaving groups following a single activation. It concerns compounds comprising a specifier linked to two or more of the same or different leaving groups (L in the figure) via a self-eliminating multiple release spacer or spacer system, which compounds upon a single activation step, in particular removal or transformation of the specifier, release at least two leaving groups.





(84) **Designated States (regional):** ARIPO patent (BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

— *before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments*

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

Published:

— *with international search report*